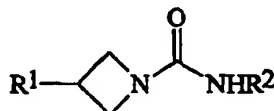




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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(21) International Application Number: PCT/GB99/00223 (22) International Filing Date: 22 January 1999 (22.01.99) (30) Priority Data: 9801499.6 23 January 1998 (23.01.98) GB 9824458.3 6 November 1998 (06.11.98) GB (71) Applicant (for all designated States except US): CEREBRUS LIMITED [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). (71) Applicant (for US only): SHEPHERD, Joy, Miriam (heiress of the deceased inventor) [GB/GB]; 37 Duke Street, Windsor, Berkshire SL4 1SH (GB). (72) Inventor: SHEPHERD, Robin, Gerald (deceased). (72) Inventors; and (75) Inventors/Applicants (for US only): ADAMS, David, Reginald [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). BENTLEY, Jon [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). BODKIN, Corinna, Dagmar [DE/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). CLIFFE, Ian, Anthony [GB/GB];			Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). DAVIDSON, James, Edward, Paul [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). MANSELL, Howard, Langham [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). MONCK, Nathaniel, Julius [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). (74) Agent: HOWARD, Paul, Nicholas; Carpmals & Ransford, 43 Bloomsbury Square, London WC1A 2RA (GB). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published With international search report.

(54) Title: AZETIDINECARBOXAMIDE DERIVATIVES FOR TREATING CNS DISORDERS



(1)

(57) Abstract

A compound of formula (1), wherein R¹ is aryl; and R² is hydrogen or alkyl; pharmaceutically acceptable addition compounds thereof; and their use in therapy, particularly for the treatment and prophylaxis of CNS disorders such as anxiety and epilepsy.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 99/00223

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D205/04 A61K31/395

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	GB 872 447 A (LEPETIT S.P.A.) 12 July 1961 see the whole document	1,2,18, 23
A	EP 0 194 112 A (ROBINS CO INC A H) 10 September 1986 see claims & US 4 956 359 A cited in the application	1-27



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents :

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- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
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Date of the actual completion of the international search

23 April 1999

Date of mailing of the international search report

07/05/1999

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Authorized officer

Chouly, J

INTERNATIONAL SEARCH REPORT

International application No.

PCT/GB 99/00223

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 24-27
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Although claims 24-27
are directed to a method of treatment of the human/animal
body, the search has been carried out and based on the alleged
effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such
an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment
of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report
covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/GB 99/00223

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
GB 872447	A	NONE	
EP 0194112	A	10-09-1986	
		AT 52915 T	15-06-1990
		AU 599585 B	26-07-1990
		AU 5388786 A	04-09-1986
		CY 1724 A	06-05-1994
		DK 90086 A	29-08-1986
		FI 860847 A,B,	29-08-1986
		GR 860549 A	24-06-1986
		HK 83893 A	20-08-1993
		IE 58943 B	01-12-1993
		JP 1965813 C	25-08-1995
		JP 6099306 B	07-12-1994
		JP 61210031 A	18-09-1986
		PH 25455 A	01-07-1991
		PT 82117 A,B	01-03-1986
		US 4956359 A	11-09-1990
		AU 599312 B	19-07-1990
		AU 5388886 A	04-09-1986
		CA 1294274 A	14-01-1992
		DK 36893 A	29-03-1993
		DK 90186 A	29-08-1986
		GR 860531 A	24-06-1986
		IN 162808 A	09-07-1988
		JP 1965814 C	25-08-1995
		JP 6099386 B	07-12-1994
		JP 61205254 A	11-09-1986
		PH 25525 A	24-07-1991
		PT 82118 A,B	01-03-1986
		US 5183902 A	02-02-1993
		US 5151418 A	29-09-1992
		US 5068231 A	26-11-1991